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NEWS 3	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS 4	APR 07	STN is raising the limits on saved answers
NEWS 5	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS 6	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS 7	APR 28	CAS patent authority coverage expanded
NEWS 8	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 9	APR 28	Limits doubled for structure searching in CAS REGISTRY
NEWS 10	MAY 08	STN Express, Version 8.4, now available
NEWS 11	MAY 11	STN on the Web enhanced
NEWS 12	MAY 11	BEILSTEIN substance information now available on STN Easy
NEWS 13	MAY 14	DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format
NEWS 14	MAY 15	INPADOCDB and INPAFAMDB enhanced with Chinese legal status data
NEWS 15	MAY 28	CAS databases on STN enhanced with NANO super role in records back to 1992
NEWS 16	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS 17	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS 18	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS 19	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS 20	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 21	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS 22	JUL 14	CA/CAplus to be enhanced with new citing references features
NEWS 23	JUL 16	GBFULL adds patent backfile data to 1855

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
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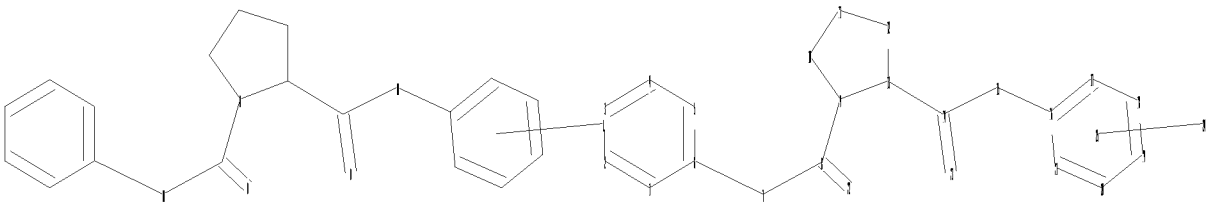

chain nodes :
7 8 14 15 22 23 24
ring nodes :
1 2 3 4 5 6 9 10 11 12 13 16 17 18 19 20 21
chain bonds :
6-7 7-8 8-9 8-22 13-14 14-15 14-23 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13 16-17 16-21 17-18
18-19 19-20 20-21
exact/norm bonds :
6-7 7-8 8-9 8-22 9-10 9-13 14-15 14-23 15-16
exact bonds :
10-11 11-12 12-13 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 9 : 16 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
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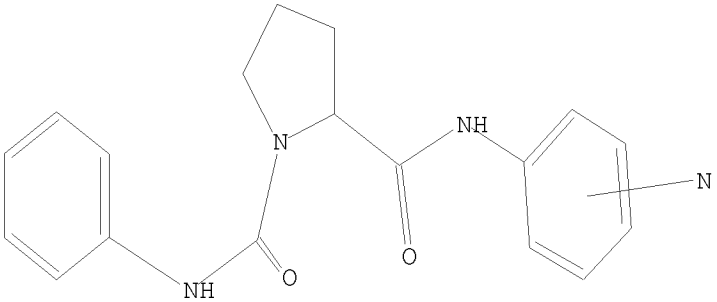
L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:23:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 269 TO ITERATE

100.0% PROCESSED 269 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4396 TO 6364
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:24:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4965 TO ITERATE

100.0% PROCESSED 4965 ITERATIONS 58 ANSWERS
SEARCH TIME: 00.00.01

L3 58 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 185.88 186.10

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FILE COVERS 1907 - 20 Jul 2009 VOL 151 ISS 4
FILE LAST UPDATED: 19 Jul 2009 (20090719/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2009.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases will soon be updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

=> s l3
L4 2 L3

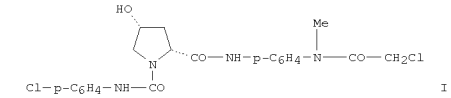
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:564637 CAPLUS
DOCUMENT NUMBER: 143:97636
TITLE: Synthesis of prolinylarylacetamides as coagulation factor Xa inhibitors for use in the prevention or treatment of thromboembolic diseases or tumors
INVENTOR(S): Mederski, Werner; Tsaklakidis, Christos; Dorsch, Dieter; Cezanne, Bertram; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005058817	A1	20050630	WO 2004-EP13509	20041126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10358814	A1	20050721	DE 2003-10358814	20031216
AU 2004299197	A1	20050630	AU 2004-299197	20041126
CA 2549589	A1	20050630	CA 2004-2549589	20041126
EP 1697318	A1	20060906	EP 2004-820404	20041126
EP 1697318	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1894210	A	20070110	CN 2004-80037698	20041126
BR 2004017630	A	20070327	BR 2004-17630	20041126
JP 2007513988	T	20070531	JP 2006-544256	20041126
AT 406350	T	20080915	AT 2004-820404	20041126
ES 2310772	T3	20090116	ES 2004-820404	20041126
IN 2006KN01579	A	20070504	IN 2006-KN1579	20060608
MX 2006006740	A	20060818	MX 2006-6740	20060614
KR 2006113729	A	20061102	KR 2006-711704	20060614
US 20070185189	A1	20070809	US 2006-583094	20060615
ZA 2006005841	A	20071031	ZA 2006-5841	20060714

PRIORITY APPLN. INFO.: DE 2003-10358814 A 20031216
WO 2004-EP13509 W 20041126

OTHER SOURCE(S): MARPAT 143:97636
GI

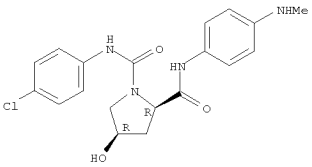


AB Title compds., e.g. (I), are claimed as inhibitors of coagulation factor Xa and are claimed for use for the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors, as well as kits containing the compds. of interest. Thus, the title compds. were prepared,
e.g., by condensation of (2R,4R)-1-(4-chlorophenylcarbamoyl)-4-hydroxyproline and N-(4-aminophenyl)2-dimethylamino-N-Me acetamide in DMF using N-(3-dimethylaminopropyl)-N'-ethyl-carbodiimide hydrochloride as condensing agent. In pharmacol. testing, I had receptor affinity IC50 values of 17.0 nM and 25.0 M using FXa and TF/FVIIa receptors, resp. (no details given). Various formulations for administering the title compds. therapeutically are given.

IT 855855-29-7P 855855-32-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prolinylarylacetamides as coagulation factor Xa inhibitors
for prevention or treatment of thromboembolic diseases or tumors)

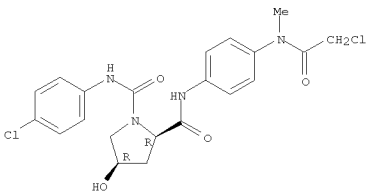
RN 855855-29-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-(methylamino)phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-32-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[(2-chloroacetyl)methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

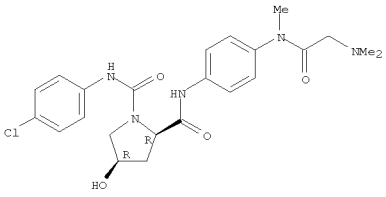
Absolute stereochemistry.



IT 855855-20-8P 855855-21-9P 855855-22-0P
855855-23-1P 855855-24-2P 855855-25-3P
855855-26-4P 855855-27-5P 855855-28-6P
855855-30-0P 855855-31-1P 855855-33-3P
855855-34-4P 855855-35-5P 855855-36-6P
855855-37-7P 855855-38-8P 855855-39-9P
855855-40-2P 855855-41-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of prolinylarylacetamides as coagulation factor Xa inhibitors
for prevention or treatment of thromboembolic diseases or tumors)

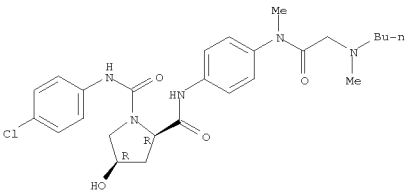
RN 855855-20-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(dimethylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



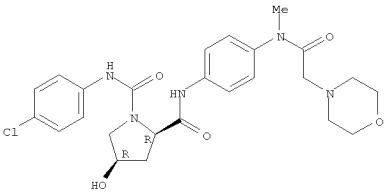
RN 855855-21-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[[2-(butylmethylamino)acetyl]methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



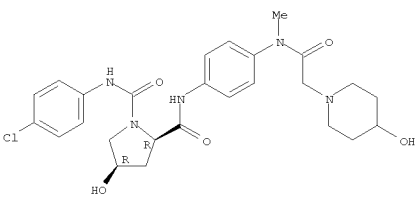
RN 855855-22-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[methyl[2-(4-morpholinyl)acetyl]amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



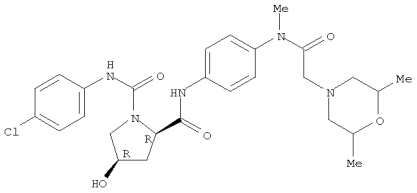
RN 855855-23-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[[2-(4-hydroxy-1-piperidinyl)acetyl]methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 855855-24-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(2,6-dimethyl-4-morpholinyl)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

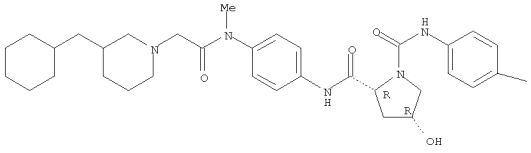
Absolute stereochemistry.



RN 855855-25-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-[3-(cyclohexylmethyl)-1-piperidinyl]acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

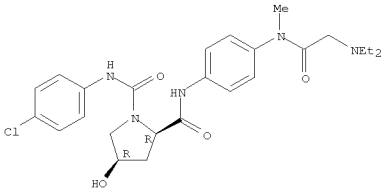


PAGE 1-B

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

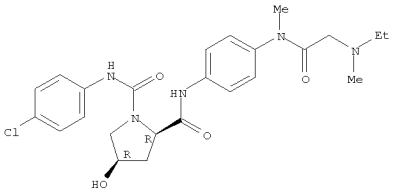
RN 855855-26-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(diethylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-27-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(ethylmethylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

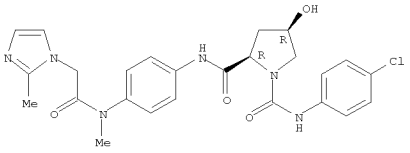
Absolute stereochemistry.



RN 855855-28-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[methyl[2-(2-methyl-1H-imidazol-1-yl)acetyl]amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

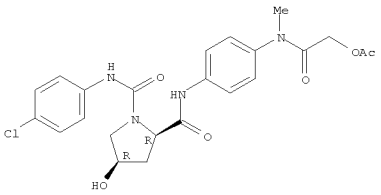
Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



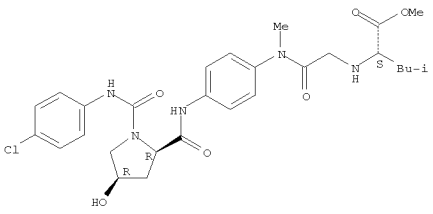
RN 855855-30-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[[2-(acetyloxy)acetyl]methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



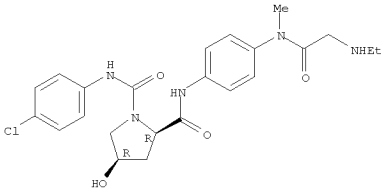
RN 855855-31-1 CAPLUS
CN L-Leucine, N-[2-[[4-[[[(2R,4R)-1-[[(4-chlorophenyl)amino]carbonyl]-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]phenyl]methylamino]-2-oxoethyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



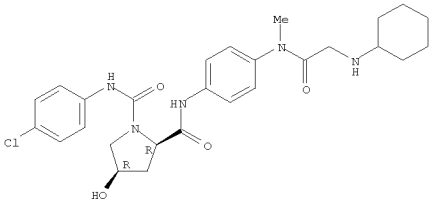
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
RN 855855-33-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(ethylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-34-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(cyclohexylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

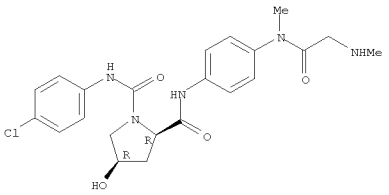
Absolute stereochemistry.



RN 855855-35-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[methyl[2-(methylamino)acetyl]amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

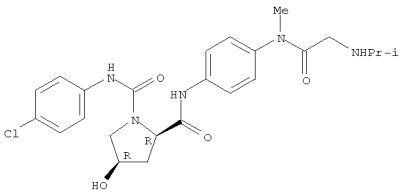
Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 855855-36-6 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[methyl[2-[(1-methylethyl)amino]acetyl]amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

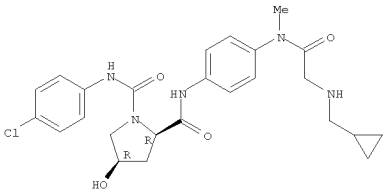
Absolute stereochemistry.



RN 855855-37-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-[(1,1-dimethylethyl)amino]acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

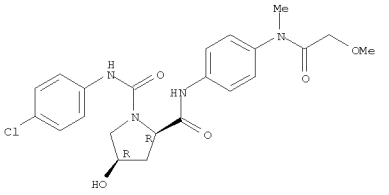
Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



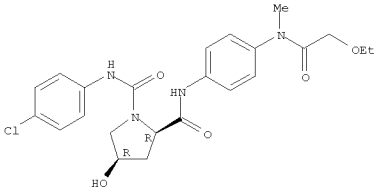
RN 855855-40-2 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[(2-methoxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

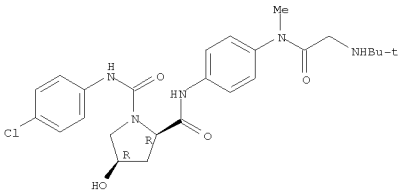


RN 855855-41-3 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(2-ethoxyacetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

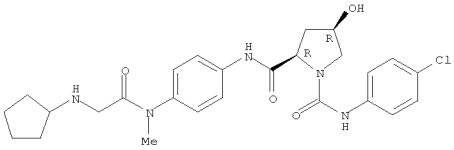


L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 855855-38-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(cyclopentylamino)acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

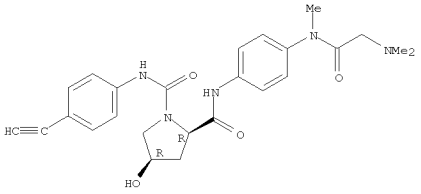


RN 855855-39-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-[(cyclopropylmethyl)amino]acetyl]methylamino]phenyl]-4-hydroxy-, (2R,4R)-
(CA INDEX NAME)

Absolute stereochemistry.

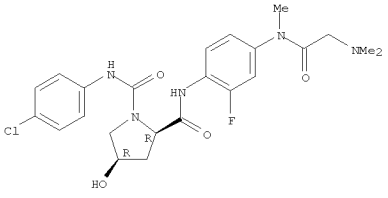
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
IT 855855-42-4 855855-43-5 855855-45-7
855855-46-8 855855-47-9 855855-48-0
855855-49-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of prolinylarylacetamides as coagulation factor Xa inhibitors
for prevention or treatment of thromboembolic diseases or tumors)
RN 855855-42-4 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[[2-(dimethylamino)acetyl]methylamino]phenyl]-N1-(4-ethynylphenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-43-5 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[[2-(dimethylamino)acetyl]methylamino]-2-fluorophenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

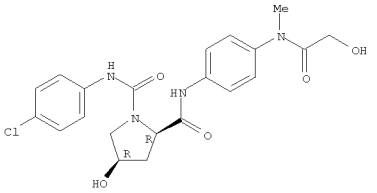
Absolute stereochemistry.



RN 855855-45-7 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[(2-hydroxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

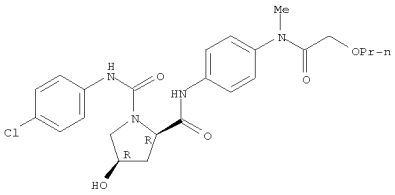
Absolute stereochemistry.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 855855-46-8 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-4-hydroxy-N2-[4-[methyl(2-propoxyacetyl)amino]phenyl]-, (2R,4R)- (CA INDEX NAME)

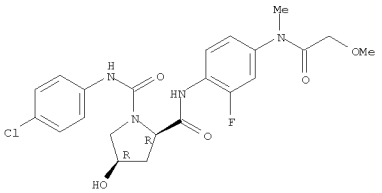
Absolute stereochemistry.



RN 855855-47-9 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[(2-butoxyacetyl)methylamino]phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

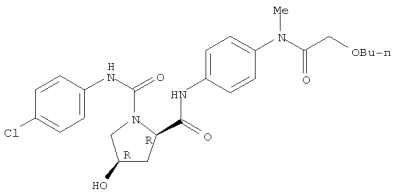
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

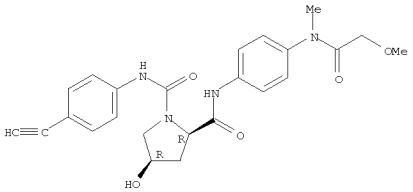
FORMAT

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 855855-48-0 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-ethynylphenyl)-4-hydroxy-N2-[4-[(2-methoxyacetyl)methylamino]phenyl]-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 855855-49-1 CAPLUS
CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[2-fluoro-4-[(2-methoxyacetyl)methylamino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:434528 CAPLUS
DOCUMENT NUMBER: 139:6763
TITLE: Preparation of pyrrolidinedicarboxamides and related compounds as inhibitors of factor Xa useful for thrombotic disorders
INVENTOR(S): Bigge, Christopher Franklin; Dudley, Danette Andrea; Edmunds, Jeremy John; Van Huis, Chad Alan; Casimiro-Garcia, Agustin; Filipski, Kevin James; Kohrt, Jeffrey Thomas
PATENT ASSIGNEE(S): Warner-Lambert Company L.L.C., USA
SOURCE: PCT Int. Appl., 389 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045912	A1	20030605	WO 2002-IB4757	20021114
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20030162787	A1	20030828	US 2002-278643	20021023
US 7030141	B2	20060418		
CA 2468715	A1	20030605	CA 2002-2468715	20021114
AU 2002365313	A1	20030610	AU 2002-365313	20021114
AU 2002365313	B2	20080306		
BR 2002014519	A	20041013	BR 2002-14519	20021114
EP 1465864	A1	20041013	EP 2002-803885	20021114
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HU 2004002529	A2	20050329	HU 2004-2529	20021114
JP 2005515985	T	20050602	JP 2003-547364	20021114
JP 4238135	B2	20090311		
AT 320414	T	20060415	AT 2002-803885	20021114
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EP 1671949	A3	20060719		
EP 1671949	B1	20080723		
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NZ 532384	A	20061027	NZ 2002-532384	20021114
AP 1744	A	20070630	AP 2004-3035	20021114
AT 402148	T	20080815	AT 2006-110738	20021114
ES 2308653	T3	20081201	ES 2006-110738	20021114
MX 2004003606	A	20040727	MX 2004-3606	20040416
IN 2004DN01062	A	20090522	IN 2004-DN1062	20040421
ZA 2004004085	A	20050905	ZA 2004-4085	20040525

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

NO 2004002270	A	20040601	NO 2004-2270		20040601
NO 327006	B1	20090406			
US 20050267118	A1	20051201	US 2004-17598		20041220
US 7407972	B2	20080805			
US 20050250815	A1	20051110	US 2005-108582		20050418
US 7407974	B2	20080805			
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US 20080287674	A1	20081120	US 2008-147038		20080626
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			US 2002-384895P	P	20020531
			US 2002-278643	A3	20021023
			EP 2002-803885	A3	20021114
			WO 2002-IB33416	A	20021114
			WO 2002-IB4757	W	20021114
			US 2004-17598	A3	20041220

OTHER SOURCE(S): MARPAT 139:6763

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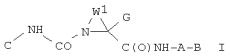


AB The present invention provides pyrrolidinedicarboxamides and related compds. (shown as I; variables defined below; e.g. (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide]) and pharmaceutically acceptable salt thereof, that are useful to treat thrombotic disorders. Also disclosed are pharmaceutical compns. comprising ≥1 compds. I, processes for preparing I, and intermediates useful for preparing I.

IC50 values for inhibition of factor Xa are tabulated for >170 examples of I. About 180 example prepns. of I are included. For example, (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide] was prepared in 4 steps starting from Fmoc-D-Pro, SOCl2, and 4-bromo-2-fluoroaniline and involving intermediates (R)-2-[(4-bromo-2-fluorophenyl)carbamoyl]pyrrolidine-1-carboxylic acid 9H-fluoren-9-ylmethyl ester, (R)-pyrrolidine-2-carboxylic acid (2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide, and (R)-pyrrolidine-1,2-dicarboxylic acid 2-[(2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide] 1-[(4-chlorophenyl)amide] with yields of 99, 70, 66 and 76%, resp. Four pharmaceutical formulations are described. For I: A is (un)substituted

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

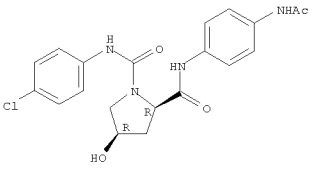
aryl or (un)substituted monocyclic heteroaryl; B is -NHC(O)(C1-C6)alkyl, -NHC(O)(C3-C7)cycloalkyl, -NHC(O)O(C1-C6 alkyl), -C(O)R1, (C3-C7)cycloalkyl, (C3-C7)heterocyclo, (C4-C7)cycloalkenyl, unsatd. (C4-C7)heterocyclo, aryl, or heteroaryl, any of which may be (un)substituted by halo, (C1-C6)alkyl, or halo(C1-C6)alkyl, O(C1-C6), -CN, haloalkyl, amino, alkylamino, amidino, amido, or sulfonamido. C is Ph or heteroaryl, wherein Ph or heteroaryl is (un)substituted with ≥1 substituents = aryl, heteroaryl, halogen, hydroxy, -CO2R2, -COR2, -CONR2R2', alkoxy, alkyl, -CN, haloalkyl, amino, alkylamino, amidino, amido, or sulfonamido; G is H, halo, (C1-C6)alkyl, halo(C1-C6)alkyl, hydroxy(C1-C6)alkyl, -CH2O(C1-C6)alkyl, -CH2CO2(C1-C6)alkyl, -CH2NR2R2', or -CH2C(O)NH(C1-C6)alkyl. W1 is a satd. or unsatd., (un)substituted hydrocarbon chain or hydrocarbon-heteroatom chain having 2-6 atoms, wherein W1 connects the N atom at position 1 to the C atom at position 2 to form a four to eight membered ring; R1 is (C1-C6)alkoxy, (C3-C7)cycloalkyl, (C3-C7)heterocycloalkyl, (C4-C7) cycloalkenyl, (C4-C7)heterocycloalkenyl, aryl, monocyclic heteroaryl, or -NR3R4; R2 and R2' are each independently H or (C1-C6)alkyl; and R3 and R4 are each independently H, (C1-C6)alkyl, aralkyl, aryl, monocyclic heteroaryl, alkoxycarbonyl, aralkoxycarbonyl, -SO2alkyl, or joined together to form a satd. or unsatd. 3 to 7 membered ring.

IT 536747-34-9P, (2R,4R)-4-Hydroxypyrrolidine-1,2-dicarboxylic acid 2-[(4-acetylaminophenyl)amide] 1-[(4-chlorophenyl)amide] 536747-35-0P, (2R,4R)-4-Hydroxypyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[[4-[(cyclopentanecarbonyl)amino]phenyl]amide] 536748-23-9P, (2R,4R)-4-[[1-[(4-Chlorophenyl)carbamoyl]-4-hydroxypyrrolidine-2-carbonyl]amino]-3-dimethylaminobenzoic acid methyl ester 536750-24-0P, 4-Methoxypyrrolidine-1,2-dicarboxylic acid 2-[[4-(acetylmethylamino)-2-fluorophenyl]amide] 1-[(4-chlorophenyl)amide]
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolidinedicarboxamides and related compds. as inhibitors of factor Xa useful for thrombotic disorders)

RN 536747-34-9 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N2-[4-(acetylamino)phenyl]-N1-(4-chlorophenyl)-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

Absolute stereochemistry.

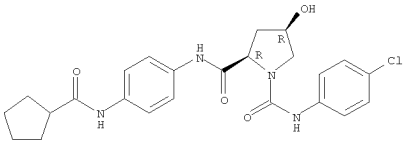


L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 536747-35-0 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[4-[(cyclopentylcarbonyl)amino]phenyl]-4-hydroxy-, (2R,4R)- (CA INDEX NAME)

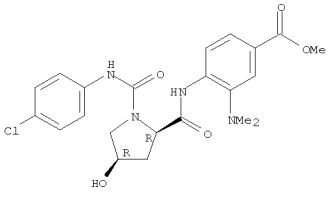
Absolute stereochemistry.



RN 536748-23-9 CAPLUS

CN Benzoic acid, 4-[[[(2R,4R)-1-[[(4-chlorophenyl)amino]carbonyl]-4-hydroxy-2-pyrrolidinyl]carbonyl]amino]-3-(dimethylamino)-, methyl ester (CA INDEX NAME)

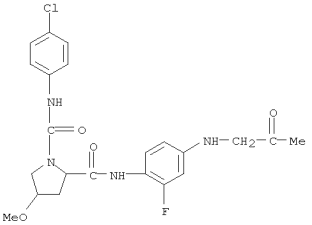
Absolute stereochemistry.



RN 536750-24-0 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N1-(4-chlorophenyl)-N2-[2-fluoro-4-[(2-oxopropyl)amino]phenyl]-4-methoxy- (CA INDEX NAME)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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